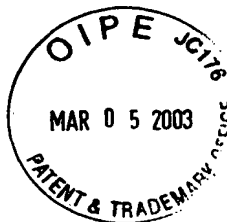


Application No. 09/708,475
Art Unit 1624



February 28, 2003

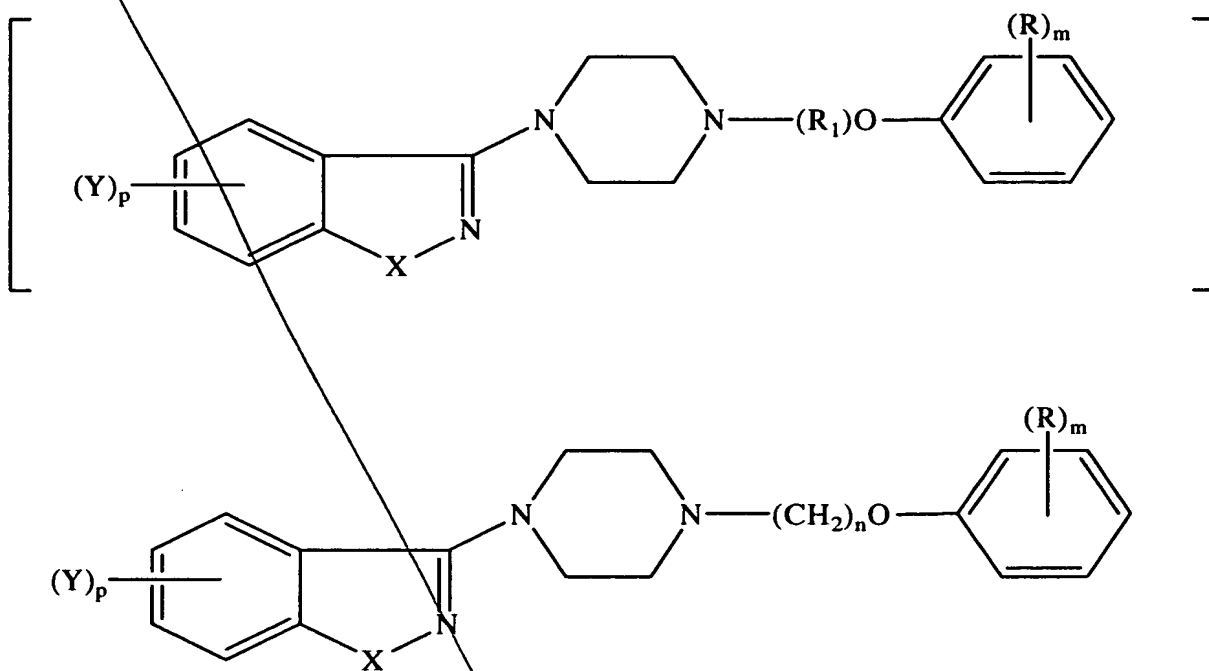
follows.

In the Claims

Please amend claims 1, 9, 25, 27, 29, 30, 31, 32, 33, 37, 46, 54, 66, 86, 87, 88, 89, 92, 93, and 104 without prejudice, as follows

RECEIVED
MAR 11 2003
TECH CENTER 1600/2900

1. (Thrice Amended) A compound of the formula:



wherein,

X is -O-, -S-, -NH-, or $[-N(R_2)]-N-R_2$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsulfonyl groups;

Application No. 09/708,475
Art Unit 1624

February 28, 2003

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

[R₁ is R₂₀, R₂₁ or R₂₂, wherein:

R₂₀ is -(CH₂)_n- where n is 2, 3, 4 or 5;

[R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

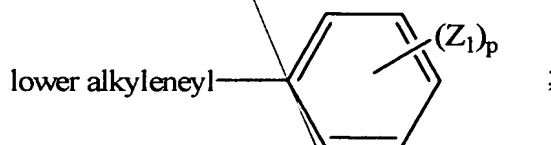
-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group, or



where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂,
-NH₂ or halogen, p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

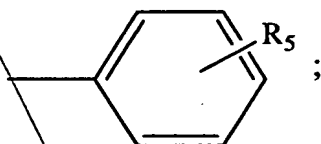
Application No. 09/708,475
Art Unit 1624

February 28, 2003

trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,
aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]
-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or
-CH(OR₇)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or
-C(=W)-heteroaryl;]

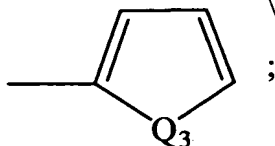
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy,
chlorine, fluorine, bromine, iodine, lower
monoalkylamino, [lower dialkylamino,] nitro, cyano,
trifluoromethyl, or trifluoromethoxy;

heteroaryl is



Q₃ is -O-, -S-, -NH-, or -CH=N-;

[W is CH₂ or CHR₈ or N-R₉;]

R₇ is hydrogen, lower alkyl, or [alkanoyl] acyl;

[R₈ is lower alkyl;

R₉ is hydroxy, alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

Application No. 09/708,475
Art Unit 1624

February 28, 2003

~~-C(=O)-aryl or -C(=O)-heteroaryl,
where aryl and heteroaryl are as defined above;]
and~~

m is 1, 2, or 3;

~~with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, [C₁ = 14 C₄] C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine,
cyano, C₁ - C₄ alkoxy, or -COOR₂₃ where R₂₃ is H or C₁ - C₄ alkyl;~~

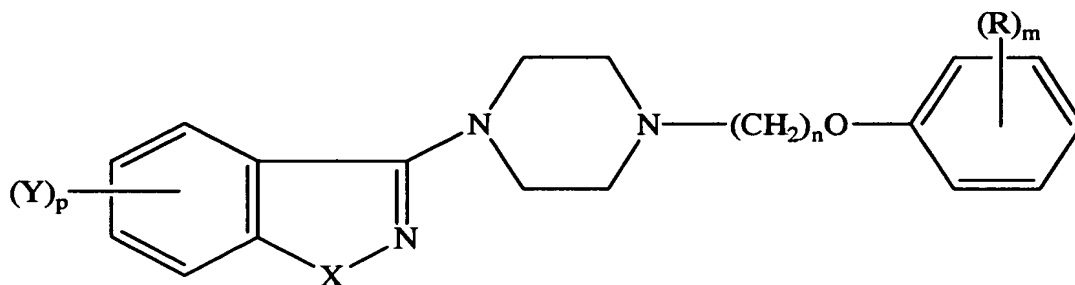
~~with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1;
[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable
acid addition salt thereof.~~

Application No. 09/708,475
Art Unit 1624

February 28, 2003

9. (Twice Amended) A compound as claimed in claim 1, wherein X is -O-,
C² -S-, or -NH-; Y is H, Cl, F, or -CF₃; R is selected from the group consisting of
hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, -OH, Cl, F, Br, I, [acyl,] C₁-C₃
monoalkylamino, acylamino, [-NO₂-,] ~~-NO₂~~, -OCF₃, or -CF₃ ; and n is 2, 3, or 4.

25. (Amended three times) A compound of the formula:



wherein X is -O-, -S-, -NH-, or $[-N-R_2] \text{---} \text{N-R}_2$;

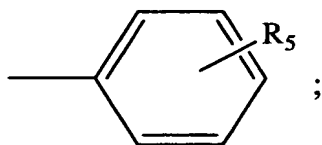
p is 1 or 2;

Y is hydrogen, Cl, Br, or F when p is 1;

Y is lower alkoxy [or halogen] when p is 2 and X is -O-;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and [phenyl sulfonyl] phenylsulfonyl groups;

aryl is phenyl or



wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

Application No. 09/708,475
Art Unit 1624

February 28, 2003

n is 2, 3, or 4;

R is hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, hydroxyl, [acyl, (C₂-C₁₁) alkanyol,] Cl,

F, Br, I, amino, C₁-C₃ mono- or dialkylamino, acylamino, -NO₂, -OCF₃,

-CF₃,

(3) -C(=O)-alkyl, or -CH(OR₇)-alkyl;

alkyl is lower alkyl;

R₇ is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is -O- or -S-, Y is hydrogen, and R is

hydrogen, C₁-C₃ alkyl, chlorine, fluorine, bromine, iodine, or C₁-C₃ alkoxy;

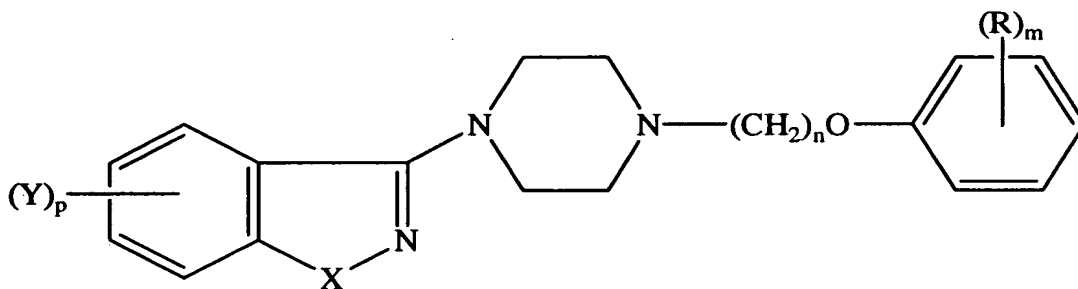
with the exclusion of compounds wherein X is -S-, R is H, and m=1;

or a pharmaceutically acceptable acid addition salt thereof.

Application No. 09/708,475
Art Unit 1624

February 28, 2003

27. (Thrice Amended) A compound of the formula:



wherein X is -S-;

p is 1 [or 2];

Y is hydrogen, Cl, Br, or F[, when p is 1];

[Y is lower alkoxy or halogen when p is 2;]

n is 2, 3, or 4;

R is hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, hydroxyl, [acyl, (C₂-C₁₁) alkanyol,] Cl, F, Br, I, amino, C₁-C₃ mono- or dialkylamino, acylamino, -NO₂, -OCF₃, -CF₃, -C(=O)-alkyl, or -CH(OR₇)-alkyl[,];

alkyl is lower alkyl;

R₇ is hydrogen, lower alkyl, or acyl; and

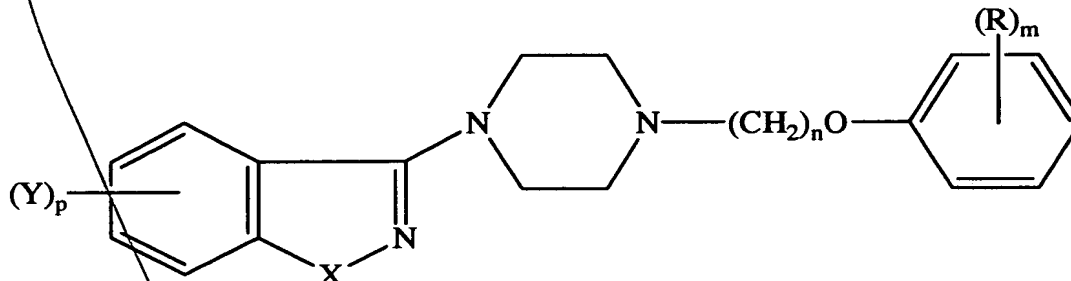
m is 1, 2, or 3;

with the exclusion of compounds wherein Y is hydrogen, and R is hydrogen, C₁-C₃ alkyl, chlorine, fluorine, bromine, iodine, or C₁-C₃ alkoxy;

with the exclusion of compounds wherein R is H, and m=1;

or a pharmaceutically acceptable acid addition salt thereof.

29. (Thrice Amended) A compound of the formula:



wherein X is $-N-R_2$;

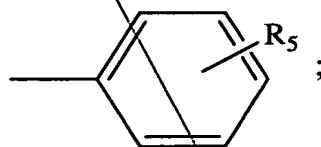
p is 1 [or 2];

Y is hydrogen, Cl, Br, or F[, when p is 1];

[Y is lower alkoxy or halogen when p is 2;]

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) [aroyl,] alkanoyl, and phenylsulfonyl groups;

aryl is phenyl or



wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

n is 2, 3, or 4;

R is hydrogen, C_1-C_3 alkyl, C_1-C_3 alkoxy, hydroxyl, [acyl, (C_2-C_{11}) alkanyol,] Cl, F, Br, I, amino, C_1-C_3 mono- or dialkylamino, acylamino, $-NO_2$, $-OCF_3$,

Application No. 09/708,475
Art Unit 1624

February 28, 2003

C8
5-6
02

F, Br, I, amino, C₁-C₃ mono- or dialkylamino, acylamino, -NO₂, -OCF₃,
-CF₃, -C(=O)-alkyl, or -CH(OR₇)-alkyl[.];

alkyl is lower alkyl;

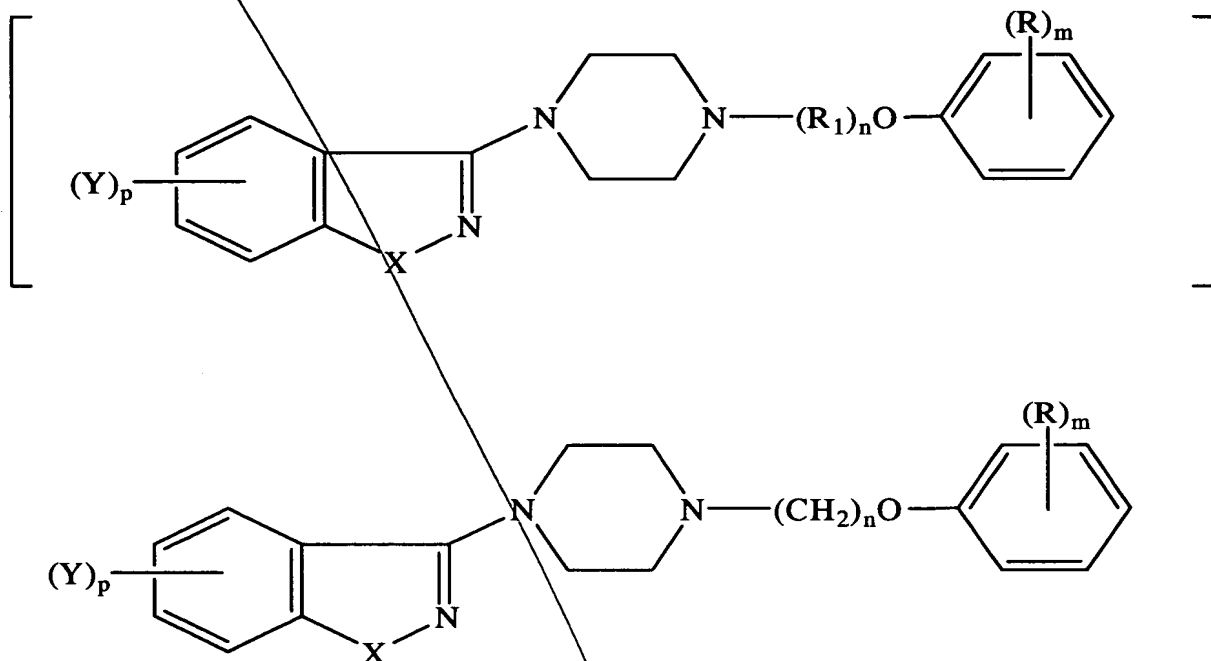
R₇ is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

or a pharmaceutically acceptable acid addition salt thereof.

February 28, 2003

30. (Thrice Amended) A pharmaceutical composition, which comprises a compound of the formula:



wherein X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀) cycloalkyl, aroyl, (C₂-C₁₁) alkanoyl, and phenylsulfonyl groups;

wherein aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

Application No. 09/708,475
Art Unit 1624

February 28, 2003

[R₁ is R₂₀, R₂₁ or R₂₂, wherein:

R₂₀ is -(CH₂)_n- where n is 2, 3, 4 or 5;

[R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

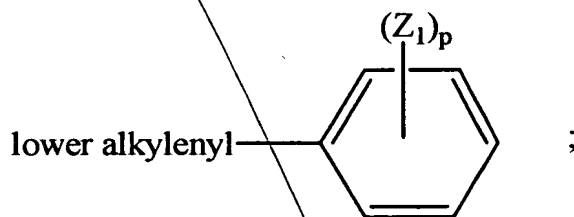
-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group, or



where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂,
-NH₂ or halogen, and p as previously defined;]

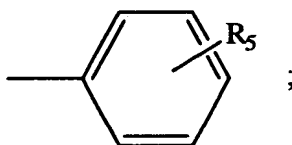
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]
-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or
-CH(OR₇)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or
-C(=W)-heteroaryl;]

Application No. 09/708,475
Art Unit 1624

February 28, 2003

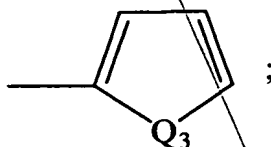
alkyl is lower alkyl;

aryl is phenyl or



where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



Q_3 is $-O-$, $-S-$, $-NH-$, or $-CH=N-$;

[W is CH_2 or CHR_8 or $N-R_9$;]

R_7 is hydrogen, lower alkyl, or [(C_2-C_{11}) alkanoyl] acyl;

[R_8 is lower alkyl;

R_9 is hydroxy, alkoxy, or $-NHR_{10}$; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl,

$-C(=O)-$ aryl or $-C(=O)-$ heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is

hydrogen, C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4

Application No. 09/708,475
Art Unit 1624

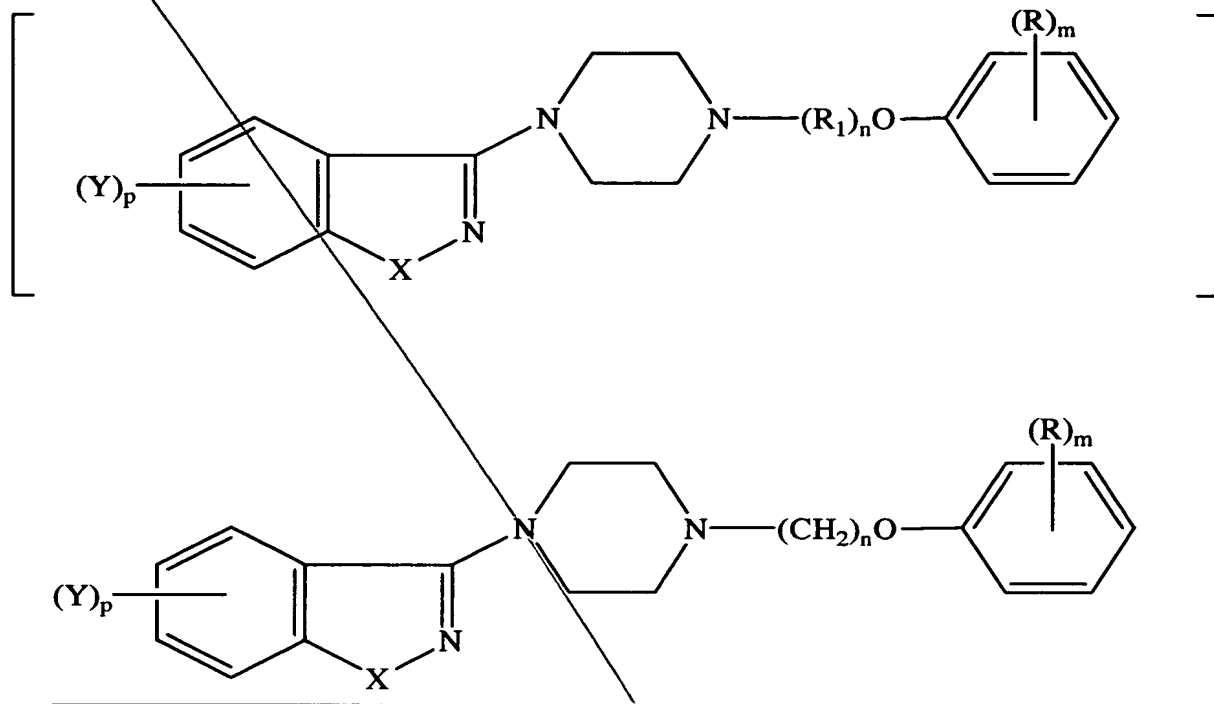
February 28, 2003

CP
Sub
D2

~~alkoxy, or -COOR₂₃ where R₂₃ is H or C₁ - C₄ alkyl;
with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1;
[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable
acid addition salt thereof, and a pharmaceutically acceptable carrier therefor.~~

February 28, 2003

31. (Amended three times) An antipsychotic composition, which comprises a compound of the formula:



wherein

X is $-\text{O}-$, $-\text{S}-$, $-\text{NH}-$, or $-\text{N}(\text{R}_2)-$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, $(\text{C}_3-\text{C}_{10})$ cycloalkyl, aroyl, $(\text{C}_2-\text{C}_{11})$ alkanoyl, and phenylsulfonyl groups; wherein aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Application No. 09/708,475
Art Unit 1624

February 28, 2003

X is lower alkoxy when p is 2 and X is -O-;

[R₁ is R₂₀, R₂₁ or R₂₂, wherein:

R₂₀ is -(CH₂)_n- where] n is 2, 3, 4 or 5;

[R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

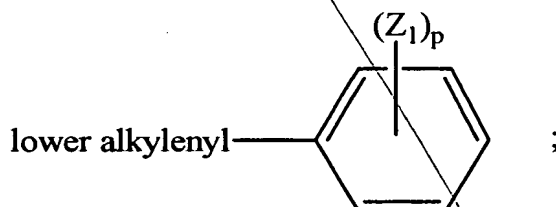
-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group, or



where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂,
-NH₂ or halogen, a p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]
-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or
-CH(OR₇)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or

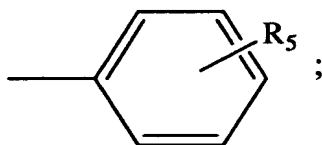
Application No. 09/708,475
Art Unit 1624

February 28, 2003

~~-C(=W)-heteroaryl;]~~

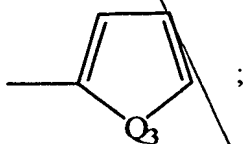
~~alkyl is lower alkyl;~~

~~aryl is phenyl or~~



~~where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy,
chlorine, fluorine, bromine, iodine, lower
monoalkylamino, [lower dialkylamino,] nitro, cyano,
trifluoromethyl, or trifluoromethoxy;~~

~~heteroaryl is~~



~~Q₃ is -O-, -S-, -NH-, or -CH=N-;~~

~~[W is CH₂ or CHR₈ or N-R₉ ;]~~

~~R₇ is hydrogen, lower alkyl, or [(C₂-C₁₁) alkanoyl] acyl;~~

~~[R₈ is lower alkyl;~~

~~R₉ is hydroxy, alkoxy, or -NHR₁₀; and~~

~~R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,~~

~~-C(=O)-aryl or -C(=O)-heteroaryl,~~

~~where aryl and heteroaryl are as defined above;]~~

~~and~~

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R

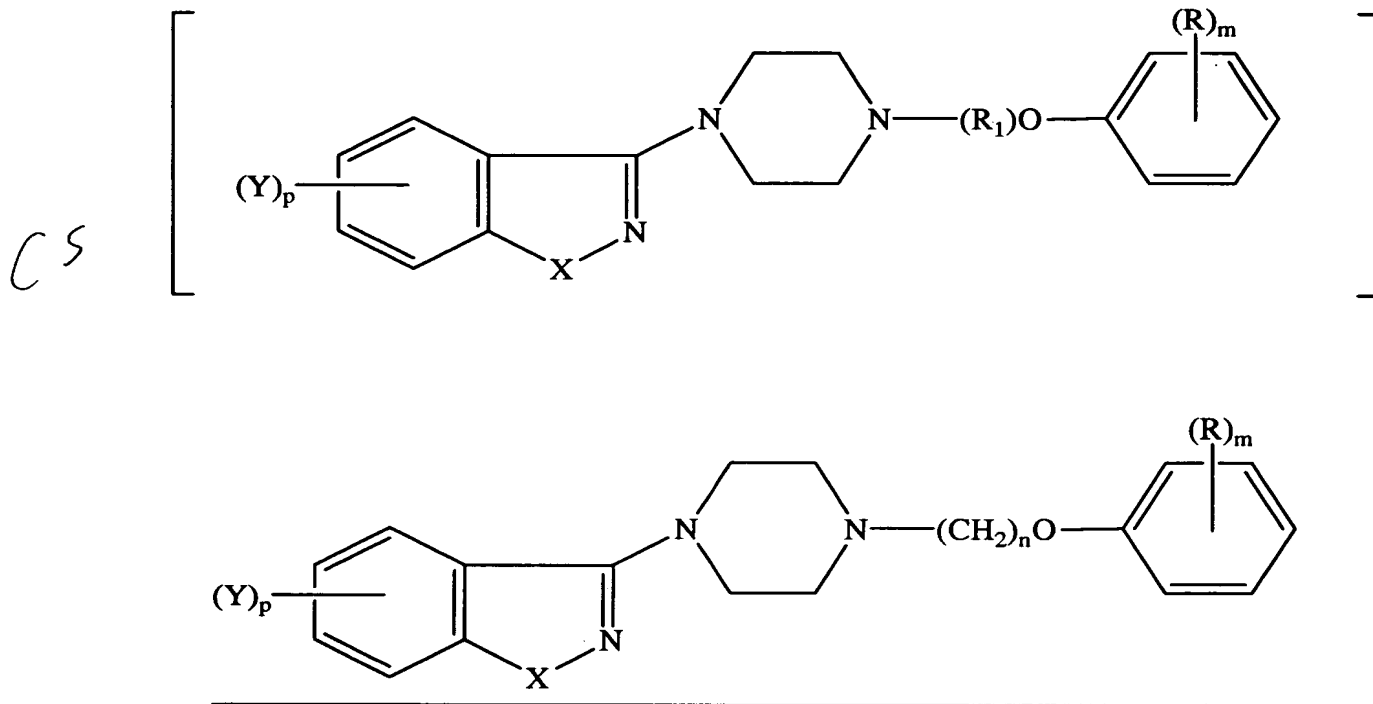
Application No. 09/708,475
Art Unit 1624

February 28, 2003

is hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃ where R₂₃ is H or C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1; [all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable acid addition salt thereof, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

32. (Thrice Amended) A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound of the formula:



wherein

X is $-\text{O}-$, $-\text{S}-$, $-\text{NH}-$, or $-\text{N}(\text{R}_2)-$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, $(\text{C}_3-\text{C}_{10})$ cycloalkyl, aroyl, $(\text{C}_2-\text{C}_{11})$ alkanoyl, and phenylsulfonyl groups;

where aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower

Application No. 09/708,475
Art Unit 1624

February 28, 2003

alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

[R₁ is R₂₀, R₂₁ or R₂₂, wherein:

R₂₀ is -(CH₂)_n- where] n is 2, 3, 4, or 5;

[R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

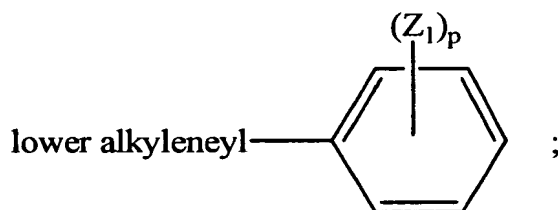
-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₂ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group, or



where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂,
-NH₂ or halogen, and p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]

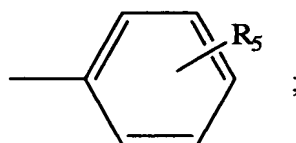
Application No. 09/708,475
Art Unit 1624

February 28, 2003

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or
-CH(OR₇)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or
-C(=W)-heteroaryl;]

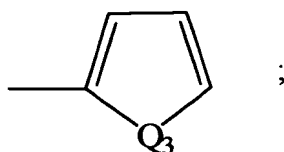
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy,
chlorine, fluorine, bromine, iodine, lower
monoalkylamino, [lower dialkylamino,] nitro, cyano,
trifluoromethyl, or trifluoromethoxy;

heteroaryl is



Q₃ is -O-, -S-, -NH-, or -CH=N-;

[W is CH₂ or CHR₈ or N-R₉;]

R₇ is hydrogen, lower alkyl, or [(C₂-C₁₁) alkanoyl] acyl;

[R₈ is lower alkyl;

R₉ is hydroxy, alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,
-C(=O)-aryl or -C(=O)-heteroaryl,

Application No. 09/708,475
Art Unit 1624

February 28, 2003

where aryl and heteroaryl are as defined above;]
and

m is 1, 2, or 3;

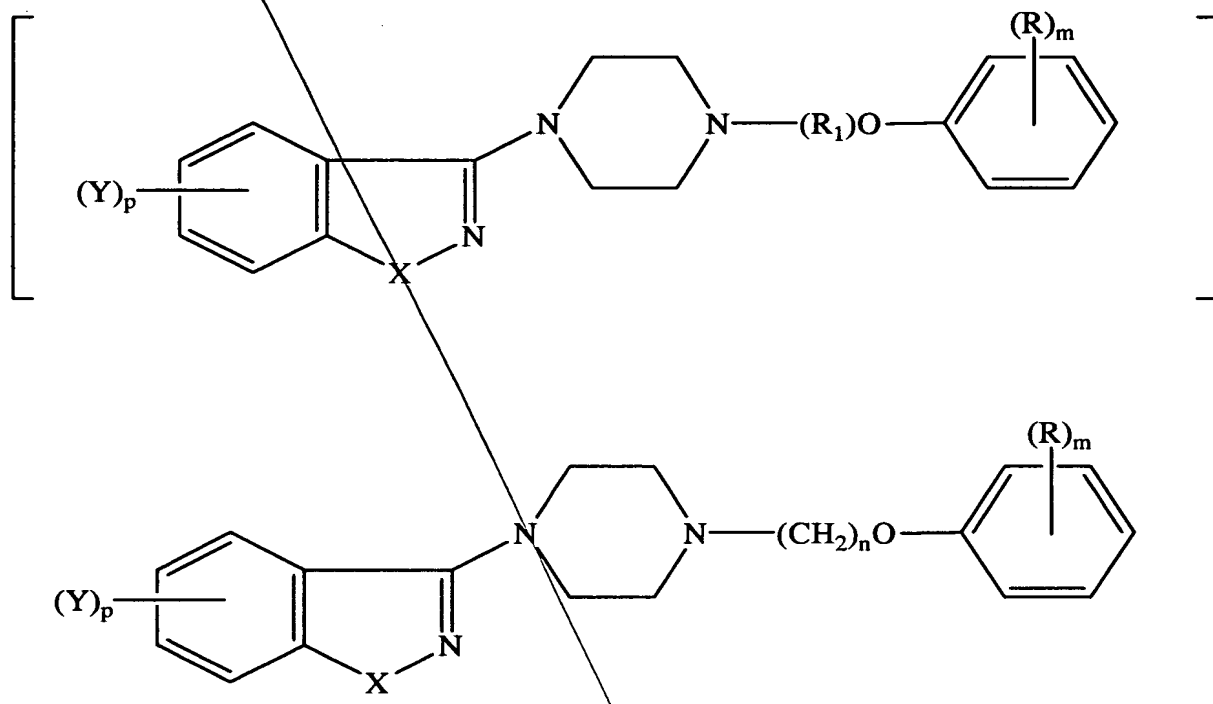
CS
with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃

wherein R₂₃ is H or C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1;
[all geometric, optical, and stereoisomers thereof,] or a pharmaceutically acceptable
acid addition salt thereof.

February 28, 2003

33. (Thrice Amended) An analgesic composition, which comprises a compound of the formula:



wherein,

X is -O-, -S-, -NH-, or $[-\text{N}(\text{R}_2)] \text{---} \text{N}(\text{R}_2)$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, $(\text{C}_3\text{--}\text{C}_{10})$ cycloalkyl, aroyl, $(\text{C}_2\text{--}\text{C}_{11})$ alkanoyl, and phenylsulfonyl groups;

wherein aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Application No. 09/708,475
Art Unit 1624

February 28, 2003

Y is lower alkoxy when p is 2 and X is -O-;

[R₁ is R₂₀, R₂₁ or R₂₂, wherein:

R₂₀ is -(CH₂)_n- where] n is 2, 3, 4, or 5;

[R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

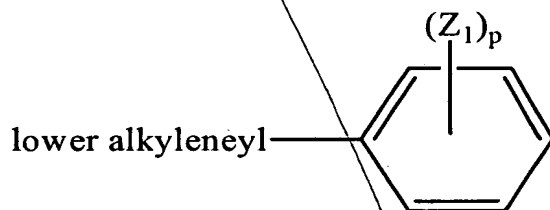
-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group, or



where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, and p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or

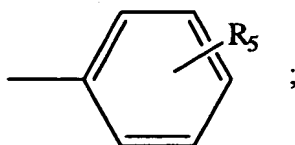
Application No. 09/708,475
Art Unit 1624

February 28, 2003

~~-CH(OR₇)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;]~~

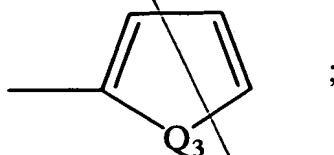
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;

[W is CH₂ or CHR₈ or N-R₉,]

R₇ is hydrogen, lower alkyl, or [(C₂-C₁₁) alkanoyl] acyl;

[R₈ is lower alkyl;

R₉ is hydroxy, alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

Application No. 09/708,475
Art Unit 1624

February 28, 2003

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

wherein R₂₃ is H or C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1;
[all geometric, optical, and stereoisomers thereof,] or a pharmaceutically acceptable acid addition salt thereof, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.

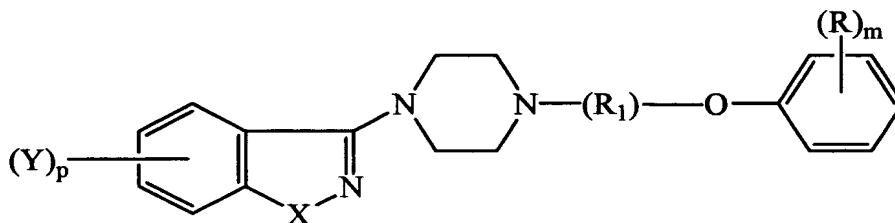
CP
Sh
03

Application No. 09/708,475
Art Unit 1624

February 28, 2003

37. (Amended) A method of treating psychoses, which comprises administering
C6 to a mammal a psychoses-treating effective amount of a compound as claimed in
claim 1, 25, 26, 27, [29] 28 or 29.

46. (Amended) A compound of the formula



wherein

X is -O- , -S- , -NH- , or $\text{-N(R}_2\text{)}$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, $(\text{C}_3\text{-C}_{10})$ cycloalkyl, aroyl, $(\text{C}_2\text{-C}_{11})$ alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O- ;

(R_1) is

$\text{-CH}_2\text{-CH=CH-CH}_2\text{-}$,

$\text{-CH}_2\text{-C}\equiv\text{C-CH}_2\text{-}$,

$\text{-CH}_2\text{-CH=CH-CH}_2\text{-CH}_2\text{-}$,

$\text{-CH}_2\text{-CH}_2\text{-CH=CH-CH}_2\text{-}$,

$\text{-CH}_2\text{-C}\equiv\text{C-CH}_2\text{-CH}_2\text{-}$, or

$\text{-CH}_2\text{-CH}_2\text{-C}\equiv\text{C-CH}_2\text{-}$.

Application No. 09/708,475
Art Unit 1624

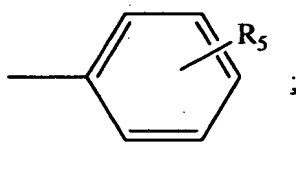
February 28, 2003

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

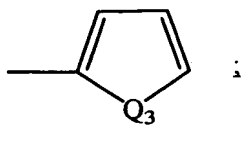
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

Application No. 09/708,475
Art Unit 1624

February 28, 2003

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl, -C(=O)-aryl, or
-C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃.

wherein R₂₃ is H or C₁-C₄ alkyl;

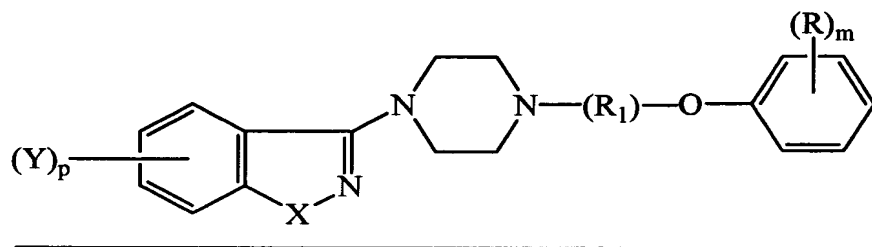
all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable
acid addition salt thereof.

Application No. 09/708,475
Art Unit 1624

February 28, 2003

C 8 54. (Amended) A compound as claimed in claim 46, wherein X is -O-, -S-, or
-NH-; Y is H, Cl, F, or -CF₃; R is selected from the group consisting of hydrogen,
C₁-C₃ alkyl, C₁-C₃ alkoxy, -OH, Cl, F, Br, I, C₁-C₃ monoalkylamino, acylamino,
-NO₂, -OCF₃, or -CF₃.

66. (Amended) A compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀) cycloalkyl, aroyl, (C₂-C₁₁) alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

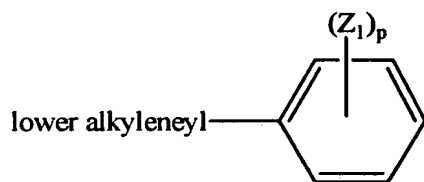
Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group or

Application No. 09/708,475
Art Unit 1624

February 28, 2003



wherein Z_1 is lower alkyl, $-OH$, lower alkoxy, $-CF_3$, $-NO_2$, $-NH_2$ or halogen;

R_{20} is $-(CH_2)_n-$, where n is 2, 3, 4 or 5;

R_{21} is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2-$,

$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

$-CH_2-CH_2-C\equiv C-CH_2-$,

the $-CH=CH-$ bond being *cis* or *trans*;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine,

fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro,

lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl,

trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl,

dialkylaminocarbonyl, formyl, $-C(=O)-alkyl$, $-C(=O)-O-alkyl$,

$-C(=O)-aryl$, $-C(=O)-heteroaryl$, $-CH(OR_7)-alkyl$, $-C(=W)-alkyl$,

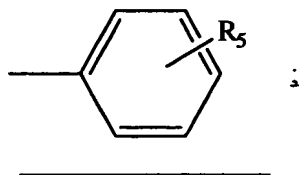
Application No. 09/708,475
Art Unit 1624

February 28, 2003

-C(=W)-aryl, or -C(=W)-heteroaryl;

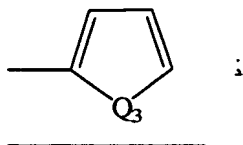
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy,
chlorine, fluorine, bromine, iodine, lower
monoalkylamino, lower dialkylamino, nitro, cyano,
trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,
-C(=O)-aryl, or -C(=O)-heteroaryl.

Application No. 09/708,475
Art Unit 1624

February 28, 2003

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃

wherein R₂₃ is H or C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;

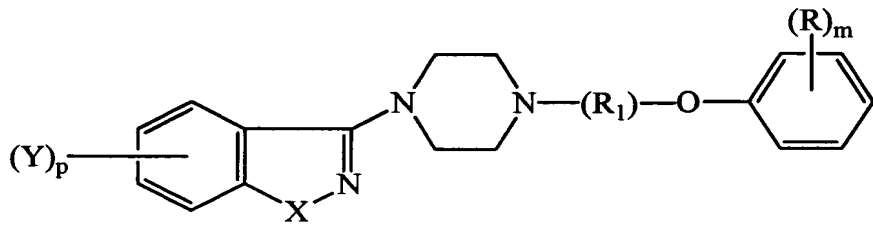
all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable
acid addition salt thereof.

Application No. 09/708,475
Art Unit 1624

February 28, 2003

74. (Amended) A compound as claimed in claim 66, wherein X is -O-, -S-, or
C / D -NH-; Y is H, Cl, F, or -CF₃; R is selected from the group consisting of hydrogen,
C₁-C₃ alkyl, C₁-C₃ alkoxy, -OH, Cl, F, Br, I, C₁-C₃ monoalkylamino, acylamino,
-NO₂, -OCF₃, or -CF₃; and n is 2, 3, or 4.

86. (Amended) A pharmaceutical composition, which comprises a compound of the formula



wherein

X is -O- , -S- , -NH- , or $\text{-N(R}_2\text{)-}$:

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀) cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O- ;

(R₁) is

$\text{-CH}_2\text{-CH=CH-CH}_2\text{-}$,

$\text{-CH}_2\text{-C}\equiv\text{C-CH}_2\text{-}$,

$\text{-CH}_2\text{-CH=CH-CH}_2\text{-CH}_2\text{-}$,

$\text{-CH}_2\text{-CH}_2\text{-CH=CH-CH}_2\text{-}$,

Application No. 09/708,475
Art Unit 1624

February 28, 2003

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl

thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

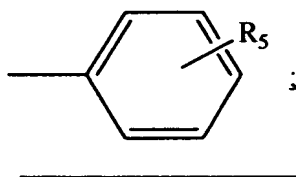
aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl,

-C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl,

-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

wherein alkyl is lower alkyl;

aryl is phenyl or



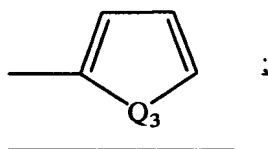
wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy,

chlorine, fluorine, bromine, iodine, lower

monoalkylamino, lower dialkylamino, nitro, cyano,

trifluoromethyl, or trifluoromethoxy;

heteroaryl is



Application No. 09/708,475
Art Unit 1624

February 28, 2003

wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂, or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃

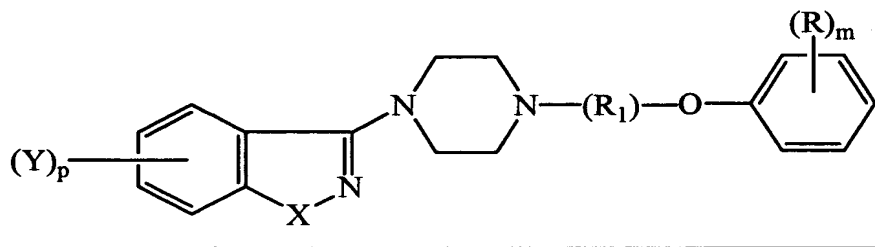
wherein R₂₃ is H or C₁-C₄ alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable
acid addition salt thereof, and a pharmaceutically acceptable carrier therefor.

Application No. 09/708,475
Art Unit 1624

February 28, 2003

87. (Amended) A pharmaceutical composition, which comprises a compound of the formula



wherein

X is -O- , -S- , -NH- , or $\text{-N(R}_2\text{)}$:

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀) cycloalkyl, aroyl, (C₂-C₁₁) alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

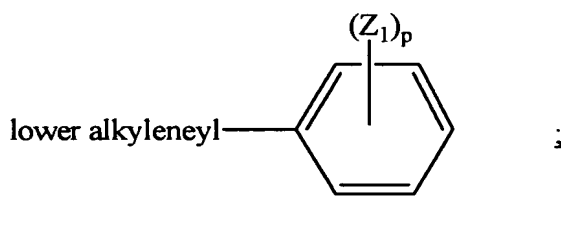
Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O- ;

(R₁) is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group or

Application No. 09/708,475
Art Unit 1624

February 28, 2003



wherein Z_1 is lower alkyl, $-OH$, lower alkoxy, $-CF_3$, $-NO_2$, $-NH_2$ or halogen;

R_{20} is $-(CH_2)_n-$, where n is 2, 3, 4 or 5;

R_{21} is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2-$,

$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

$-CH_2-CH_2-C\equiv C-CH_2-$,

the $-CH=CH-$ bond being cis or trans;

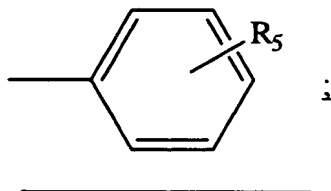
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, $-C(=O)-alkyl$, $-C(=O)-O-alkyl$, $-C(=O)-aryl$, $-C(=O)-heteroaryl$, $-CH(OR_7)-alkyl$, $-C(=W)-alkyl$, $-C(=W)-aryl$, or $-C(=W)-heteroaryl$;

Application No. 09/708,475
Art Unit 1624

February 28, 2003

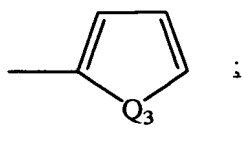
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy,
chlorine, fluorine, bromine, iodine, lower
monoalkylamino, lower dialkylamino, nitro, cyano,
trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q_3 is $-O-$, $-S-$, $-NH-$, or $-CH=N-$;

W is CH_2 or CHR_8 or $N-R_9$;

R_7 is hydrogen, lower alkyl, or acyl;

R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or $-NHR_{10}$; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl,

$-C(=O)-$ aryl, or $-C(=O)-$ heteroaryl,

wherein aryl and heteroaryl are as defined above; and

Application No. 09/708,475
Art Unit 1624

February 28, 2003

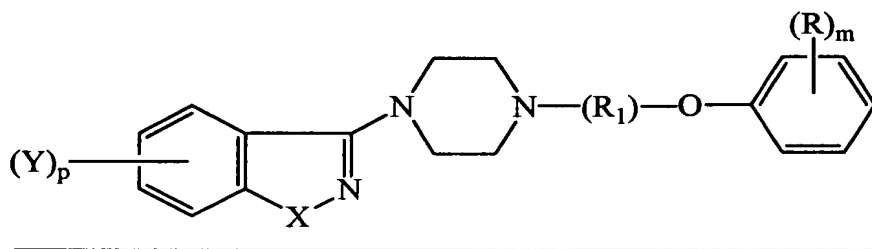
m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃

wherein R₂₃ is H or C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;
all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable
acid addition salt thereof, and a pharmaceutically acceptable carrier therefor.

88. (Amended) An antipsychotic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀) cycloalkyl, aroyl, (C₂-C₁₁) alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

Application No. 09/708,475
Art Unit 1624

February 28, 2003

-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl

thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

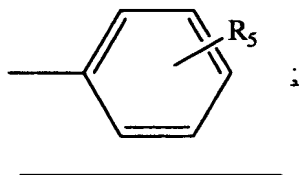
aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl,

-C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl,

-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

wherein alkyl is lower alkyl;

aryl is phenyl or



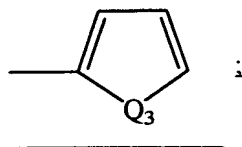
wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy,

chlorine, fluorine, bromine, iodine, lower

monoalkylamino, lower dialkylamino, nitro, cyano,

trifluoromethyl, or trifluoromethoxy;

heteroaryl is



Application No. 09/708,475
Art Unit 1624

February 28, 2003

wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃

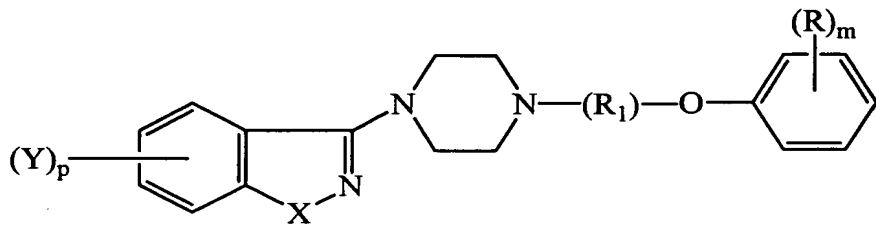
wherein R₂₃ is H or C₁-C₄ alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable
acid addition salt thereof, in an amount sufficient to produce an antipsychotic
effect, and a pharmaceutically acceptable carrier therefor.

Application No. 09/708,475
Art Unit 1624

February 28, 2003

89. (Amended) An antipsychotic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀) cycloalkyl, aroyl, (C₂-C₁₁) alkanoyl, and phenylsulfonyl groups;

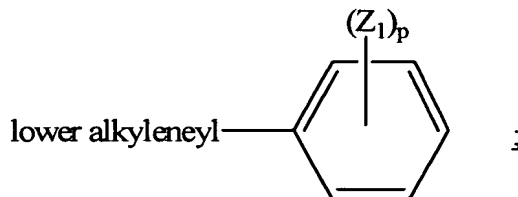
aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group or



Application No. 09/708,475
Art Unit 1624

February 28, 2003

wherein Z_1 is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen;

R_{20} is -(CH₂)_n-, where n is 2, 3, 4 or 5;

R_{21} is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

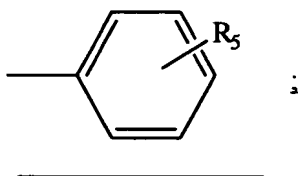
-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

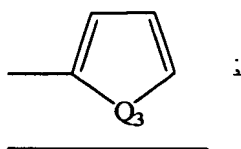
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

Application No. 09/708,475
Art Unit 1624

February 28, 2003

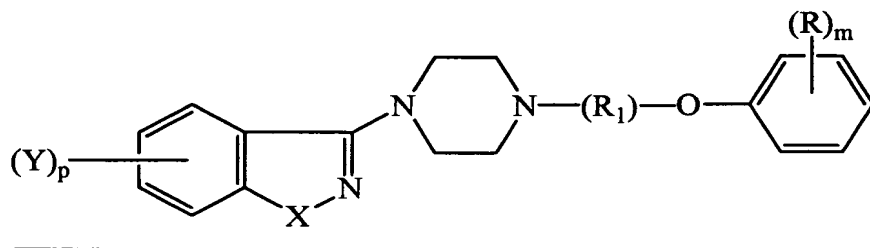
with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃.

wherein R₂₃ is H or C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;
all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable
acid addition salt thereof, in an amount sufficient to produce an antipsychotic
effect, and a pharmaceutically acceptable carrier therefor.

February 28, 2003

92. (Amended) An analgesic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is

-CH₂-CH=CH-CH₂-;

-CH₂-C≡C-CH₂-;

-CH₂-CH=CH-CH₂-CH₂-;

Application No. 09/708,475
Art Unit 1624

February 28, 2003

-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl

thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

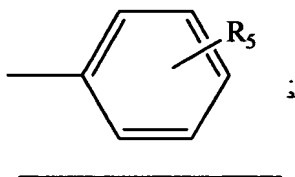
aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl,

-C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl,

-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy,

chlorine, fluorine, bromine, iodine, lower

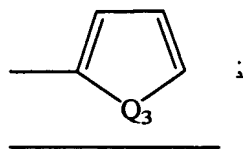
monoalkylamino, lower dialkylamino, nitro, cyano,

trifluoromethyl, or trifluoromethoxy;

heteroaryl is

Application No. 09/708,475
Art Unit 1624

February 28, 2003



wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is

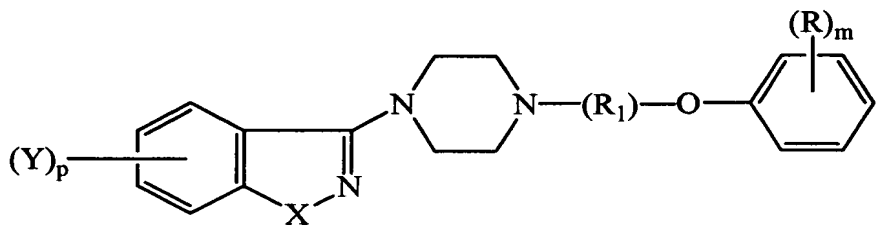
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃

wherein R₂₃ is H or C₁-C₄ alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable

acid addition salt thereof, in an amount sufficient to produce a pain-relieving
effect, and a pharmaceutically acceptable carrier therefor.

93. (Amended) An analgesic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀) cycloalkyl, aroyl, (C₂-C₁₁) alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

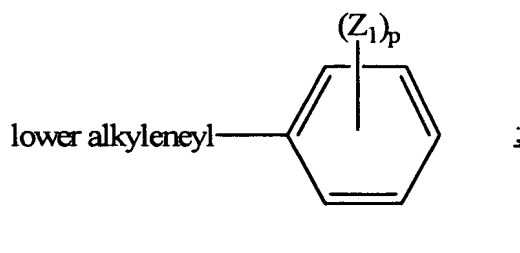
Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group or

Application No. 09/708,475
Art Unit 1624

February 28, 2003



wherein Z_1 is lower alkyl, $-OH$, lower alkoxy, $-CF_3$, $-NO_2$, $-NH_2$ or halogen;

R_{20} is $-(CH_2)_n-$, where n is 2, 3, 4 or 5;

R_{21} is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2-$,

$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

$-CH_2-CH_2-C\equiv C-CH_2-$,

the $-CH=CH-$ bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, $-C(=O)-alkyl$, $-C(=O)-O-alkyl$, $-C(=O)-aryl$, $-C(=O)-heteroaryl$,

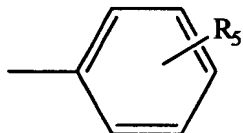
Application No. 09/708,475
Art Unit 1624

February 28, 2003

-CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

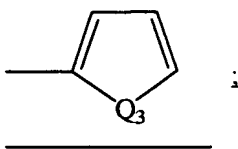
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

Application No. 09/708,475
Art Unit 1624

February 28, 2003

wherein aryl and heteroaryl are as defined above;
and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃.

wherein R₂₃ is H or C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable
acid addition salt thereof, in an amount sufficient to produce a pain-relieving
effect, and a pharmaceutically acceptable carrier therefor.

Application No. 09/708,475
Art Unit 1624

February 28, 2003

C13 104. (Amended) A compound as claimed in claim 96, wherein X is -O-, -S-, or -NH-; Y is H, Cl, F, or -CF₃; R is selected from the group consisting of hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, -OH, Cl, F, Br, I, C₁-C₃ monoalkylamino, acylamino, -NO₂, -OCF₃, or -CF₃; and n is 2, 3, or 4.